Osaterone acetate

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Osaterone acetate, sold under the brand name **Ypozane**, is a medication which is used in veterinary medicine in Europe in the treatment of enlarged prostate in dogs.[1][3][4] It is given by mouth.[1]

Osaterone acetate is an antiandrogen, and hence is an antagonist of the androgen receptor, the biological target of androgens like testosterone and dihydrotestosterone.[1] It is also a progestin, or a synthetic progestogen, and hence is an agonist of the progesterone receptor, the biological target of progestogens like progesterone.[1]

Osaterone acetate was introduced for veterinary use in 2007.[5] It is marketed in Europe.[6][1]

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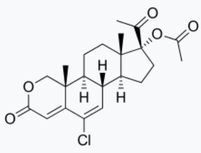
Osaterone acetate



Clinical data	
Trade names	Ypozane
Other names	TZP-4238; Gestoxarone acetate; 2-Oxachloromadinone acetate; 17α-Acetoxy-6-chloro-2-oxa-6-dehydroprogesterone; 17α-Acetoxy-6-chloro-2-oxapregna-4,6-diene-3,20-dione
Routes of administration	By mouth (tablets)
Drug class	Steroidal antiandrogen; Progestogen; Progestin; Progestogen ester
Pharmacokinetic data	
Protein binding	Osaterone acetate: 90% 15β-Hydroxyosaterone acetate: 80%[1] (Both mainly to albumin)[1]
Metabolism	Liver[1]
Metabolites	15β-Hydroxyosaterone acetate[1]
Elimination half-life	Dogs: 80 hours to 197 ± 109 hours[1][2]
Excretion	Bile: 60%[1] Urine: 25%[1]
Identifiers	
IUPAC name	[show]
CAS Number	105149-00-6
PubChem CID	114992
ChemSpider	102924
UNII	FR26FSV5EZ
KEGG	D11105
ECHA InfoCard	100.215.750
Chemical and physical data	
Formula	$C_{22}H_{27}ClO_5$
Molar mass	406.90 g·mol^{-1}
3D model (JSmol)	Interactive image
SMILES	[show]
InChI	[show]

Uses [edit source]

Veterinary [edit source]

Osaterone acetate is used in veterinary medicine in Europe in the treatment of benign prostatic hyperplasia (BPH) in dogs.[1][3][4] It has been found to produce remission of clinical symptoms of BPH in 83% of dogs for six months after a single one-week course of treatment,[7] and can be used long-term.[4]

Available forms [edit source]

Osaterone acetate comes in the form of 1.875 mg, 3.75 mg, 7.5 mg, and 15 mg oral tablets for veterinary use.[1]

Side effects [edit source]

Side effects of osaterone acetate include diminished sperm quality (for up to 6 weeks post-treatment), transient elevation of liver enzymes (caution should be observed with known liver disease), vomiting, diarrhea, polyuria/polydipsia, lethargy, and hyperplasia of the mammary glands.[8] It can also decrease cortisol levels, interfere with adrenocorticotropic hormone response, induce or exacerbate adrenal insufficiency, and exacerbate diabetes mellitus.[9][8]

Pharmacology [edit source]

Pharmacodynamics [edit source]

Osaterone acetate is a steroidal antiandrogen, progestin, and antigonadotropin.[1] It has virtually no estrogenic or androgenic activity.[3] Its side-effect profile indicates that it possesses clinically relevant glucocorticoid activity.[9][8] An active metabolite of osaterone acetate, 15β-hydroxyosaterone acetate, has potent antiandrogenic activity similarly to osaterone acetate.[1] Osaterone acetate treats BPH in dogs by reducing the actions of androgens in the prostate gland.[1]

Pharmacokinetics [edit source]

The major active metabolite of osaterone acetate is 15β-hydroxyosaterone acetate.[1] Osaterone acetate has a long biological half-life of 80 hours to 197 ± 109 hours in dogs.[1][2]

Chemistry [edit source]

See also: Steroidal antiandrogen, List of steroidal antiandrogens, List of progestogens, Progestogen ester, and List of progestogen esters

Osaterone acetate, also known as 2-oxachloromadinone acetate, as well as 17α-acetoxy-6-chloro-2-oxa-6-dehydroprogesterone or 17α-acetoxy-6-chloro-2-oxapregna-4,6-diene-3,20-dione, is a synthetic pregnane steroid and a derivative of progesterone and 17α-hydroxyprogesterone.[6] It is a derivative of the less potent chlormadinone acetate.[3] The medication is the C17α acetate ester of osaterone.[6]

History [edit source]

Osaterone acetate was introduced for veterinary use in Europe under the brand name Ypozane in 2007.[6][5][1]

Society and culture [edit source]

Generic names [edit source]

Osaterone acetate is the generic name of the drug.[6] *Osaterone* is the INN of the deacetylated parent compound.[6]

Brand names [edit source]

Osaterone acetate is marketed under the brand name Ypozane by Virbac.[6]

Availability [edit source]

Osaterone acetate is available widely throughout Europe, including in Belgium, Finland, France, Germany, Italy, the Netherlands, Norway, Poland, Sweden, Switzerland, and the United Kingdom.[6]

Research [edit source]

Osaterone acetate was also investigated in Japan in the treatment of prostate cancer and BPH in humans but was ultimately never marketed for such purposes.[3][10]

References [edit source]

1. ^ a b c d e f g h i j k l m n o p q r s t "Ypozane for Dogs" (PDF). *European Medicines Agency*.
2. ^ a b Maddison JE, Page SW, Church D (2008). *Small Animal Clinical Pharmacology*. Elsevier Health Sciences. pp. 536–. ISBN 978-0-7020-2858-8.
3. ^ a b c d e Weber GF (22 July 2015). *Molecular Therapies of Cancer*. Springer. pp. 316–. ISBN 978-3-319-13278-5.
4. ^ a b c Greer ML (18 December 2014). *Canine Reproduction and Neonatology*. Teton NewMedia. pp. 296–. ISBN 978-1-4987-2850-8.
5. ^ a b Emmerich IU, Ungemach FR (2008). "Neue Arzneimittel für Kleintiere 2007". *Tierärztliche Praxis Ausgabe K: Kleintiere/Heimtiere*. **36** (5): 311–22. doi:10.1055/s-0038-1622691.
6. ^ a b c d e f g h "Osaterone". *Drugs.com*.
7. ^ Cote E (9 December 2014). *Clinical Veterinary Advisor: Dogs and Cats*. Elsevier Health Sciences. pp. 848–. ISBN 978-0-323-24074-1.
8. ^ a b c Lamm C, Makloski C (28 May 2012). *Theriogenology, An Issue of Veterinary Clinics: Small Animal Practice*. Elsevier Health Sciences. pp. 112–. ISBN 978-1-4557-4447-3.
9. ^ a b Ettinger SJ, Feldman EC (24 December 2009). *Textbook of Veterinary Internal Medicine*. Elsevier Health Sciences. pp. 2055–. ISBN 978-1-4377-0282-8.
10. ^ Schröder FH, Radlmaier A (2009). "Steroidal Antiandrogens". In Jordan VC, Furr BJ (eds.). *Hormone Therapy in Breast and Prostate Cancer*. Humana Press. pp. 325–346. doi:10.1007/978-1-59259-152-7_15. ISBN 978-1-60761-471-5.

Further reading [edit source]

- Schröder FH, Radlmaier A (2009). "Steroidal Antiandrogens". *Hormone Therapy in Breast and Prostate Cancer*. pp. 325–346. doi:10.1007/978-1-59259-152-7_15. ISBN 978-1-60761-471-5.

External links [edit source]

- Ypozane - Virbac
- Ypozane (Osaterone Acetate) - European Medicines Agency

Medical uses	[show]
Pharmacodynamics	[show]

Categories: Acetate esters | Antiandrogen esters | Antigonadotropins | Dog medications | Drugs for benign prostatic hyperplasia | Glucocorticoids | Hepatotoxins | Lactones | Organochlorides | Pregnanes | Progestogen esters | Progestogens | Steroidal antiandrogens

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